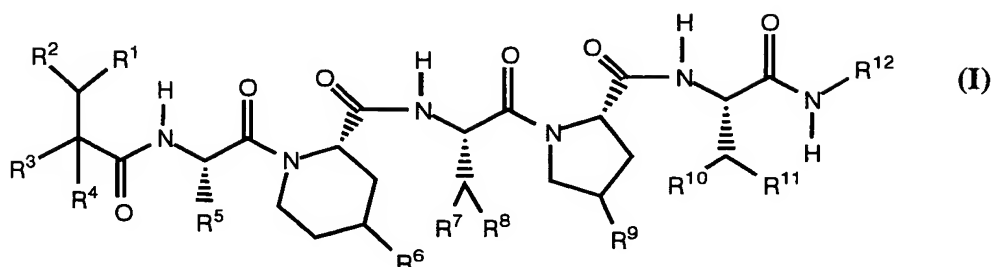


WHAT IS CLAIMED IS:

1. A compound of Formula I:

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wherein R¹ is selected from alkyl, phenyl, cycloalkyl
rings having four to ten ring-member carbon atoms,
10 bicycloalkyl fused ring systems having seven to nine
ring-member carbon atoms, heteroaryl, heteroarylalkyl,
benzo-fused-heteroaryl and benzo-fused-heteroarylalkyl
wherein said heteroaryl moiety or fragment is a 5- or 6-
ring-member fully-unsaturated ring system having one
15 hetero atom as a ring member, said hetero atom selected
from oxygen, nitrogen and sulfur atoms, and wherein any
of said heteroaryl, heteroarylalkyl, benzo-fused-
heteroaryl and benzo-fused-heteroarylalkyl may be
attached to the nucleus of Formula I as an R¹ substituent
20 through a bond formed at any said ring-member atom or any
atom of the alkyl portion of said R¹ substituent where
said bond is capable of forming a stable compound;

wherein R² is selected from hydrido, lower alkyl,
25 cyclohexyl and phenyl;

wherein R³ is selected from hydrido, hydroxy, lower
alkyl, phenyl, acetyl(Lys)NH-, acetyl(Tyr)NH-,
acetyl(Thr)NH-, acetylamino, propionylamino and
30 benzyloxycarbonylamino;

wherein R⁴ is selected from hydrido, lower alkyl and
phenyl;

wherein R⁵ is selected from hydrido, lower alkyl, phenyl, benzyl, hydroxyphenyl, hydroxybenzyl, aminoalkyl, mono-alkyl-substituted-aminoalkyl and radicals provided by B-Het-A;

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wherein Het is selected from heteroaryl moieties consisting of monocyclic and fused bicyclic ring systems having a total of five to fourteen ring members and with one to six ring members being selected from hetero atoms provided by oxygen, nitrogen and sulfur atoms, wherein said monocyclic ring system and at least one ring system of said fused bicyclic ring system is fully unsaturated, and

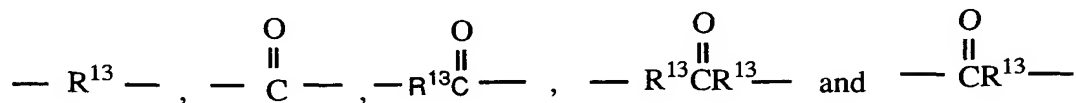
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wherein Het is further selected from heterocyclic moieties consisting of monocyclic and fused polycyclic ring systems having a total of four to twelve ring members and with one to six ring members selected from hetero atoms provided by oxygen, nitrogen and sulfur atoms, wherein said monocyclic ring-system and at least one ring system of said fused polycyclic ring system is fully saturated or partially unsaturated,

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wherein A is a single covalent bond or is a divalent radical selected from

25



wherein R¹³ is lower alkyl;

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wherein B is one or more substituents attached at a substitutable position on Het of Het-A, said substituent selected from hydrido, hydroxy, alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, hydroxyalkyl, alkoxyalkyl, carboxy, alkenyl, alkynyl, halo, haloalkyl, oxo, cyano, benzyl and phenyl;

35

wherein R^6 is selected from hydrido, lower alkyl, hydroxy, alkoxy, alkoxyalkyl, carboxyalkyl, alkoxy-carbonyl, alkoxy-carbonyloxy, aminoalkyl, mono-alkyl-substituted-aminoalkyl, amido and amidoalkyl;

5

wherein R^7 is selected from carboxyl, lower alkyl, amido and methylthiomethyl;

wherein R^8 is selected from hydrido, methyl and ethyl;

10

wherein R^9 is selected from hydrido, lower alkyl, alkoxy and phenyl;

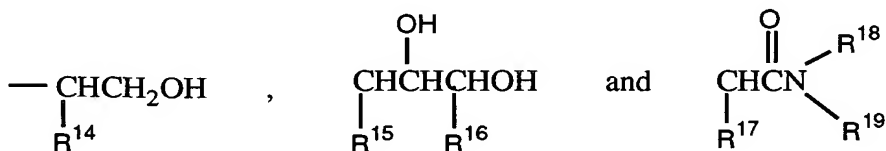
wherein R^{10} is hydrido or hydroxy;

15

wherein R^{11} is hydrido or methyl;

wherein R^{12} is selected from lower alkyl, phenyl, phenylalkyl, cycloalkyl, cycloalkylalkyl,

20



wherein each of R^{14} through R^{17} is independently selected from hydrido, hydroxy, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, halo, haloalkyl, cyano, benzyl and phenyl;

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wherein each of R^{18} and R^{19} is independently selected from hydrido, alkyl, cycloalkyl, cycloalkylalkyl, benzyl and phenyl;

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or a pharmaceutically-acceptable amide, ester or salt thereof.

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2. Compound of Claim 1 wherein R¹ is selected from cyclopentyl, cyclohexyl, cycloheptyl, norbornanyl, phenyl, furyl, pyrrolyl, thienyl, chromanyl, isochromanyl, benzothienyl, pyridyl, indolizinyll, isoindolyl, indolyl, 3H-indolyl, quinolizinyll, quinolyl, isoquinolyl, azetidinyll, thioazetidinyll, pyrrolidinyll, pyrrolinyll, oxazolidinyll, thiazolidinyll, imidazolidinyll, imidazolinyl, pyrazolidinyll, pyrazolinyl, piperidinyll, piperazinyll, 1,3-morpholino, 1,4-morpholino, 1,4-thiomorpholino, azepinyll, oxazopinyll, thiazopinyll, oxazocinyll, thiazocinyll, azoninyll, oxazabicyclo, benzo-fused-oxazolidinyll, benzo-fused-thiazolidinyll, benzo-fused-morpholino, benzo-fused thiomorpholinyl, benzo-fused-thiazopinyll, benzo-fused oxazopinyll, benzo-fused-oxazocinyll, benzo-fused-oxazoninyll, tropanyll and benzo-fused-oxazobicyclo;

wherein R² is selected from hydrido, methyl, ethyl, propyl, cyclohexyl and phenyl;

wherein R³ is selected from hydrido, hydroxy, methyl, ethyl, phenyl, acetyl(Lys)NH-, acetyl(Tyr)NH-, acetyl(Thr)NH-, acetylamino, propionylamino and benzyloxycarbonylamino;

wherein R⁴ is hydrido or methyl;

wherein R⁵ is selected from hydrido, n-propyl, isopropyl, n-butyl, isobutyl, phenyl, benzyl, hydroxyphenyl, hydroxybenzyl, aminopropyl, aminobutyl and radicals

$$\begin{array}{c} \text{O} \\ || \\ \text{B-Het-CR}^{13} \end{array}$$

provided by B-Het-R¹³ and B-Het-CR¹³;

wherein Het is selected from furyll, pyrrolyll, thienyl, chromanyl, isochromanyl, benzothienyl, pyridyl, indolizinyll, isoindolyl, indolyl, 3H-indolyl, quinolizinyll, quinolyl, isoquinolyl, imidazolyl,

pyrazolyl, oxazolidyl, thiazolidyl, isothiazolidyl,
 isoxazolidyl, furazanyl, pyrazinyl, pyrimidinyl,
 pyridazinyl, indazolyl, purinyl, phthalazinyl,
 naphthyridinyl, quinoxalinyl, quinazolinyl, cinnolinyl,
 5 pteridinyl, thieno-furanyl, furopyranyl, pyrido-oxazinyl,
 pyrazolo-oxazolyl, imidazo-thiazolyl, pyrazino-
 pyridazinyl, imidazo-thiazolyl, oxothiolo-pyrrolyl,
 imidazo-triazinyl, benzoxazinyl, azetidiny,
 thioazetidiny, pyrrolidinyl, pyrrolinyl, oxazolidinyl,
 10 thiazolidinyl, imidazolidinyl, imidazoliny,
 pyrazolidinyl, pyrazolinyl, piperidinyl, piperazinyl,
 1,3-morpholino, 1,4-morpholino, 1,4-thiomorpholino,
 azepinyl, oxazopiny, thiazopiny, oxazociny,
 thiazociny, azoniny, oxazabicyclo, benzo-fused-
 15 oxazolidinyl, benzo-fused-thiazolidinyl, benzo-fused-
 morpholino, benzo-fused thiomorpholinyl, benzo-fused-
 thiazopiny, benzo-fused oxazopiny, benzo-fused-
 oxazociny, benzo-fused-oxazoniny, tropanyl and benzo-
 fused-oxazobicyclo;

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wherein R¹³ is lower alkyl;

wherein B is one or more substituents attached at a
 substitutable position on Het, said substituent selected
 25 from hydrido, hydroxy, alkyl, cycloalkyl,
 cycloalkylalkyl, alkoxy, hydroxyalkyl, alkoxyalkyl, oxo,
 benzyl and phenyl;

wherein R⁶ is selected from hydrido, lower alkyl,
 30 hydroxy, methoxy carboxyalkyl, alkoxycarbonyl,
 alkoxycarbonyloxy, aminoalkyl, mono-alkyl-substituted-
 aminoalkyl, amido and amidoalkyl;

wherein R⁷ is selected from carboxyl, lower alkyl, amido
 35 and methylthiomethyl;

wherein R⁸ is hydrido or methyl;

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wherein R^9 is selected from hydrido, lower alkyl, methoxy and phenyl;

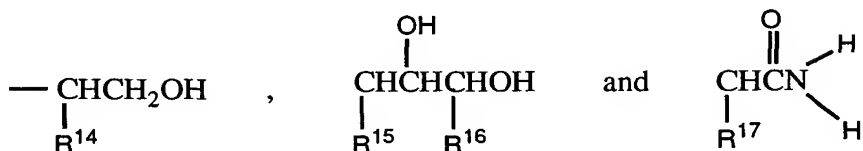
wherein R^{10} is hydrido or hydroxy;

5

wherein R^{11} is hydrido or methyl;

wherein R^{12} is selected from lower alkyl, phenyl, benzyl, phenylethyl, cyclohexylethyl,

10



wherein each of R^{14} through R^{17} is independently selected from hydrido, hydroxy and alkyl;

15

or a pharmaceutically-acceptable amide, ester or salt thereof.

20

3. Compound of Claim 2 wherein R^1 is selected from cyclopentyl, cyclohexyl, cycloheptyl, norbornanyl, phenyl, azetidiny, thioazetidiny, pyrrolidinyl, pyrrolinyl, oxazolidinyl, thiazolidinyl, imidazolidinyl, imidazolinyl, pyrazolidinyl, pyrazolinyl, piperidinyl, piperazinyl 1,3-morpholino, 1,4-morpholino, 1,4-thiomorpholino, azepinyl, oxazopiny, thiazopiny, oxazociny, thiazociny, azoniny, oxazabicyclo and tropanyl;

25

30

wherein R^2 is selected from hydrido, methyl, ethyl, propyl, acetyl(Lys)NH-, acetyl(Tyr)NH-, acetyl(Thr)NH-, cyclohexyl and phenyl;

35

wherein R^3 is selected from hydrido, hydroxy, methyl, ethyl, phenyl, acetylamino, propionylamino and benzyloxycarbonylamino;

wherein R^4 is hydrido or methyl;

wherein R^5 is selected from hydrido, n-propyl, isopropyl,
 5 n-butyl, isobutyl, aminopropyl, aminobutyl, phenyl,
 hydroxyphenyl, benzyl, hydroxybenzyl and radicals

O
||

provided by B-Het-CR¹³;

wherein Het is selected from azetidiny1, pyridiny1,
 10 isoindoly1, oxazolyl, isoxazolyl, indoly1, quinoly1,
 isoquinoly1, azetidiny1, thioazetidiny1, pyrrolidiny1,
 pyrroliny1, oxazolidiny1, thiazolidiny1, imidazolyl,
 imidazolidiny1, imidazolinyl, pyrazolidiny1, pyrazolinyl,
 piperidiny1, piperazinyl,
 15 1,3-morpholino, 1,4-morpholino, 1,4-thiomorpholino,
 azepiny1, oxazopiny1, thiazopiny1, oxazociny1,
 thiazociny1, azoniny1, oxazabicyclo and tropany1;

wherein R^{13} is selected from methyl, ethyl and propyl;
 20

wherein B is one or more substituents attached at a
 substitutable position on Het, said substituent selected
 from hydrido, hydroxy, methyl, ethyl, propyl, oxo, benzyl
 and phenyl;

25 wherein R^6 is selected from hydrido, methyl, hydroxy,
 methoxy, phenyl, alkoxycarbonyl, alkoxycarbonyloxy,
 aminoalkyl, mono-amido and amidoalkyl;

30 wherein R^7 is selected from carboxyl, n-propyl, n-butyl,
 amido and methylthiomethyl;

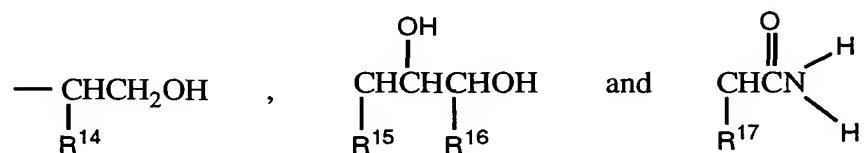
wherein R^8 is hydrido or methyl;

35 wherein R^9 is selected from hydrido, lower alkyl, methoxy
 and phenyl;

wherein R^{10} is hydroxy;

wherein R^{11} is hydrido or methyl;

- 5 wherein R^{12} is selected from lower alkyl, phenyl, phenylethyl, cyclohexylethyl,



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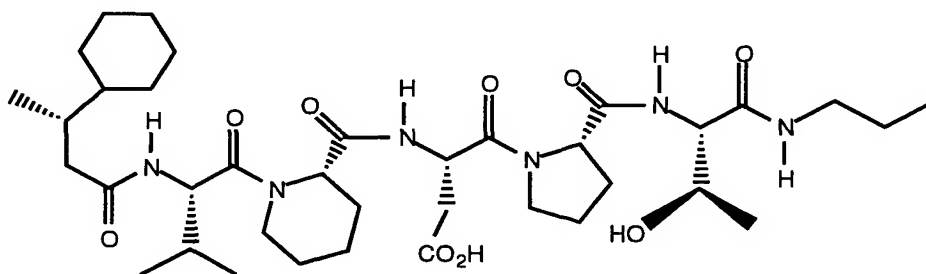
wherein each of R^{14} through R^{17} is independently selected from hydrido, hydroxy, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, benzyl and phenyl;

- 15 or a pharmaceutically-acceptable amide, ester or salt thereof.

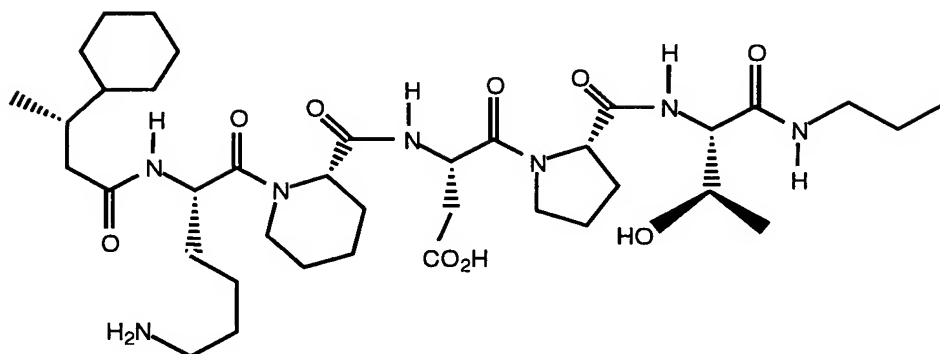
4. Compound of Claim 3 wherein R¹ is phenyl or cyclohexyl; wherein R² is hydrido or methyl; wherein R³ is selected from hydrido, hydroxy, acetyl(Lys)NH-, acetyl(Tyr)NH-, acetyl(Thr)NH-, acetylamino, propionylamino and benzyloxycarbonylamino; wherein R⁴ is hydrido; wherein R⁵ is selected from isopropyl, isobutyl, n-propyl, n-butyl, aminopropyl, aminobutyl, phenyl, benzyl, para-hydroxyphenyl, para-hydroxybenzyl, imidazolcarbonylethyl, imidazolcarbonylpropyl, pyrrolidinylcarbonylethyl, pyrrolidinylcarbonylpropyl, azetidiny carbonylethyl, azetidiny carbonylpropyl, morpholinocarbonylethyl, morpholinocarbonylpropyl, piperazinocarbonylethyl, piperazinocarbonylpropyl, pyridinylcarbonylethyl, pyridinylcarbonylpropyl, oxazolylcarbonylethyl, oxazolylcarbonylpropyl, isoxazolylcarbonylethyl, isoxazolylcarbonylpropyl, azepiny carbonylethyl and azepiny carbonylpropyl; wherein R⁶ is selected from hydrido, methyl, hydroxy, methoxy, phenyl and aminocarbonyl; wherein R⁷ is carboxyl or methylthiomethyl; wherein R⁸ is hydrido; wherein R⁹ is selected from hydrido, hydroxy, methyl, methoxy and phenyl; wherein R¹⁰ is hydroxy; wherein R¹¹ is methyl; wherein R¹² is selected from methyl, ethyl, propyl, butyl, isobutyl, -CH(iBu)CH₂OH and -CH(iBu)CONH₂; or a pharmaceutically-acceptable amide, ester or salt thereof.

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5. Compound of Claim 4 which is

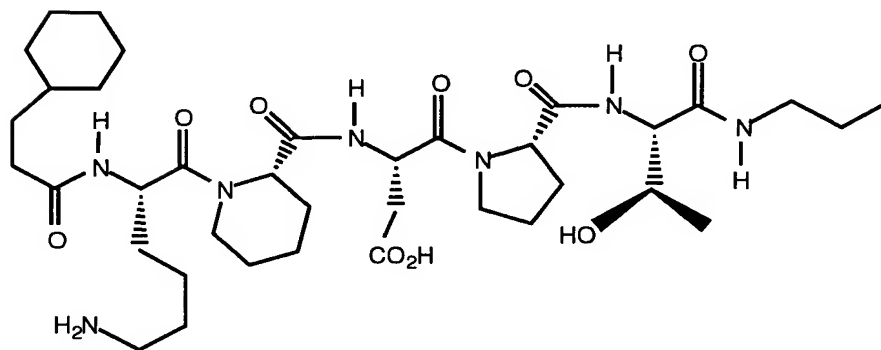


6. Compound of Claim 4 which is



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7. Compound of Claim 4 which is



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8. Compound of Claim 4 which is

